

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
27 May 2004 (27.05.2004)

PCT

(10) International Publication Number  
WO 2004/043950 A1

(51) International Patent Classification<sup>7</sup>: C07D 403/04,  
491/04, 403/14, 401/14, 405/14, 409/14, 487/04, 471/04,  
417/14, A61K 31/498, 31/5025, 31/519, A61P 19/02,  
35/00

(21) International Application Number:  
PCT/US2003/036003

(22) International Filing Date:  
10 November 2003 (10.11.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/425,490 ✓ 12 November 2002 (12.11.2002) US  
60/460,915 ✓ 7 April 2003 (07.04.2003) US  
60/484,202 ✓ 30 June 2003 (30.06.2003) US

(71) Applicant (for all designated States except US): BAYER  
PHARMACEUTICALS CORPORATION [US/US];  
400 Morgan Lane, West Haven, CT 06516 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LADOUCEUR,  
Gaetan, H. [CA/US]; 31 Stone Ridge Lane, Branford, CT  
06405 (US). BEAR, Brian [US/US]; 373 Acorn Lane,  
Milford, CT 06460 (US). BI, Cheng [US/US]; 12 Big  
Spruce Lane, West Haven, CT 06516 (US). BRITTELLI,  
David, R. [US/US]; 240 Stony Creek Road, Branford, CT  
06405 (US). BURKE, Michael, J. [CA/US]; 216 Bishop  
Street, Apt. 309, New Haven, CT 06511 (US). CHEN,  
Gang [CN/CA]; 1606-28 Sommerset Way, North York,  
Ontario M2N 6W7 (CA). COOK, James [US/US]; 174  
Young Street, East Hampton, CT 06424 (US). DUMAS,  
Jacques [FR/US]; 98 Farmview Road, Bethany, CT 06524  
(US). SIBLEY, Robert [US/US]; 1187 Mount Carmel Ave-  
nue, North Haven, CT 06473 (US). TURNER, Michael,  
R. [US/US]; 53 Cherry Street, 4R, Milford, CT 06460  
(US).

(74) Agents: GREENMAN, Jeffrey, M. et al.; Bayer Pharma-  
ceuticals Corporation, 400 Morgan Lane, West Haven, CT  
06516 (US).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,  
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,  
MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,  
RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,  
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Declarations under Rule 4.17:

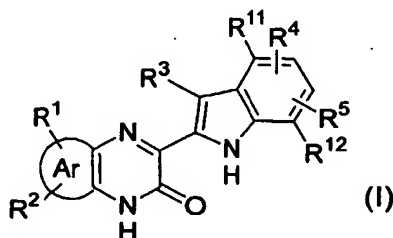
- as to applicant's entitlement to apply for and be granted  
a patent (Rule 4.17(ii)) for the following designations AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,  
FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,  
MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,  
RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT,  
TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent  
(BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ,  
TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

#### Published:

- with international search report
- before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: INDOLYL PYRAZINONE DERIVATIVES USEFUL FOR TREATING HYPER-PROLIFERATIVE DISORDERS  
AND DISEASES ASSOCIATED WITH ANGIOGENESIS



(57) Abstract: This invention relates to a compound of Formula I (I) and its use in  
treating hyper-proliferative disorders and diseases associated with angiogenesis.